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STIC Database Tracking Number: 168213

TO: Tamthom Truong
Location: rem/5B19/5C18
Art Unit: 1624
Tuesday, October 18, 2005
Case Serial Number: 10/088814

From: John DiNatale
Location: Biotech-Chem Library
REM-1B65
Phone: (571)272-2557

john.dinatale@uspto.gov

Search Notes

Examiner Truong,

See attached results.

If you have any questions about this search feel free to contact me at any time.

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John DiNatale
Technical Information Specialist
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168213

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Your Contact Information:

* indicates mandatory information.

Your Name: TAMTHOM N. TRUONG
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*Employee No.: 74142
*Art Unit/Org.: 1624
*Office Location: REM -- 5B19
*Phone No.: x 20676
Mailbox No.: REM -- 5C18

***Case serial number:** 10/ 088,814
If not related to a patent application, please enter NA here.

Class / Subclass(es) 514/ 266.1 & 544/ 284

Earliest Priority Filing Date: 09-21-1999

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STIC

Format preferred for results:

☑ Paper ☐ Diskette ☐ E-mail

Provide detailed information on your search topic:

- In your own words, describe in detail the concepts or subjects you want us to se
- Include synonyms, keywords, and acronyms. Define terms that have special me
- *For Chemical Structure Searches Only*
  Include the elected species or structures, keywords, synonyms, acronyms, and
- *For Sequence Searches Only*
  Include all pertinent information (parent, child, divisional, or issued patent numb
  serial number.
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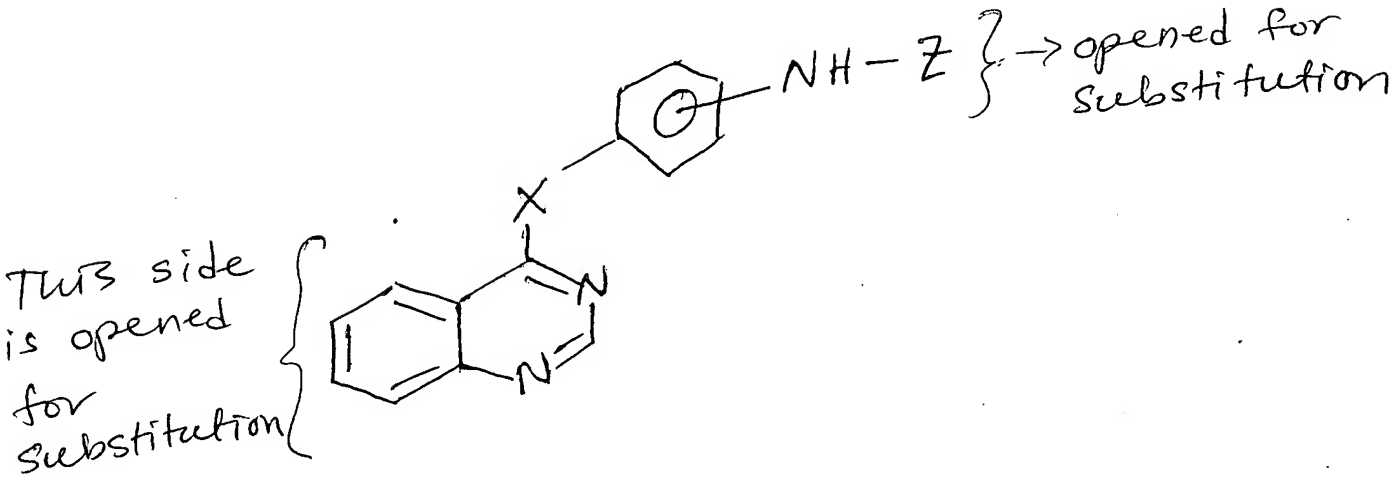
10/088,814 Query



X = NH

Z = C(=O), or $S(O)_2$

see also claim 20

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Bib Data Sheet

CONFIRMATION NO. 2356

SERIAL NUMBER	FILING DATE	CLASS	GROUP ART UNIT	ATTORNEY DOCKET NO.
10/088,814	09/04/2002 RULE	514	1624	ASZD-P01-599

APPLICANTS

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Nicholas John Keen, Macclesfield Cheshire, UNITED KINGDOM;
Frederic Henri Jung, Reims, FRANCE;Andrew George Brewster, Paris, FRANCE;

** CONTINUING DATA **********
This application is a 371 of PCT/GB00/03580 09/18/2000

** FOREIGN APPLICATIONS **********
UNITED KINGDOM 9922170.7 09/21/1999
UNITED KINGDOM 9922154.1 09/21/1999

IF REQUIRED, FOREIGN FILING LICENSE GRANTED
** 02/26/2004

Foreign Priority claimed	STATE OR	SHEETS	TOTAL	INDEPENDENT
☐ yes ☐ no	COUNTRY		CLAIMS	CLAIMS
35 USC 119 (a-d) conditions met ☐ yes ☐ no ☐ Met after Allowance	UNITED KINGDOM	DRAWING	31	2
Verified and Acknowledged Examiner's Signature Initials				

ADDRESS
44992
ASTRAZENECA R&D BOSTON
35 GATEHOUSE DRIVE
WALTHAM , MA
02451-1215

TITLE
Quinazoline derivatives and their use as pharmaceuticals

☐ All Fees
☐ 1.16 Fees (Filing)

FILING FEE RECEIVED 1570	FEES: Authority has been given in Paper No. _____ to charge/credit DEPOSIT ACCOUNT No. _____ for following:	☐ 1.17 Fees (Processing Ext. of time) ☐ 1.18 Fees (Issue) ☐ Other _____ ☐ Credit

Application No. 10/088,814
Amendment Dated 12 August 2005
Reply to Office Action of14 March 2005

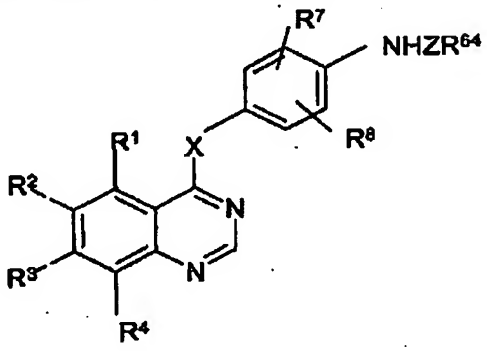
Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1-19. (Cancelled)

20. (Currently amended) A compound of formula (IIC)



or a salt, ester or amide thereof,

where X is ~~NHO, or S, S(O) or S(O)2, or NR8 where R8 is hydrogen or C1-6~~alkyl;

Z is C(O) or S(O)2;

R^{64} is ~~optionally substituted hydrocarbyl or optionally substituted heterocyclyl~~ optionally substituted aryl selected from phenyl optionally substituted with up to 5 groups selected from nitro, halo, carboxy, cyano, C_{1-4}alkyl, C_{1-4}alkoxy, C_{1-4}alkylthio, acetoxy, acetamido, hydroxy, aminosulphonyl, C_{1-4}alkylsulphonyl, trifluoromethyl, arC_{1-10}alkyl, or arC_{1-10}alkyloxy wherein aryl rings in the substituents may themselves be substituted with halo, nitro or C_{1-4}alkyl;

optionally substituted C_{3-6}cycloalkyl selected from optionally substituted cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl any of which may be optionally substituted with nitro, halo, carboxy, cyano, C_{1-4}alkyl, C_{1-4}alkoxy, C_{1-4}alkylthio, acetoxy, acetamido, hydroxy, aminosulphonyl, C_{1-4}alkylsulphonyl, trifluoromethyl, arC_{1-10}alkyl, arC_{1-10}alkyloxy, or aryl wherein aryl rings in the substituents may themselves be substituted with halo, nitro or C_{1-4}alkyl;

optionally substituted arC_{1-10}alkyl selected from optionally substituted benzyl, phenylethyl or phenylpropyl, wherein the phenyl ring is optionally substituted with up to 5 groups selected from nitro, halo, carboxy, cyano, C_{1-4}alkyl, C_{1-4}alkoxy, C_{1-4}alkylthio, acetoxy, acetamido, hydroxy, aminosulphonyl, C_{1-4}alkylsulphonyl, trifluoromethyl, arC_{1-10}alkyl, or arC_{1-10}alkyloxy wherein aryl

rings in the substituents may themselves be substituted with halo, carboxy, trifluoromethyl, nitro or C_{1-4}alkyl;
optionally substituted heterocyclyl selected from pyridyl, pyrazine, pyrimidinyl, pyrrolidino, furyl, tetrahydrofuryl, oxazolyl, morpholino, thiadiazole, indolyl, quinolinyl, isoquinolinyl, pyrazolyl, methylenedioxybenzyl, thiophene and benzothiophene, all of which may be optionally substituted with one or more groups selected from nitro, halo, carboxy, cyano, C_{1-4}alkyl, C_{1-4}alkoxy, C_{1-4}alkylthio, acetoxy, acetamido, hydroxy, aminosulphonyl, C_{1-4}alkylsulphonyl, trifluoromethyl, arC_{1-10}alkyl, or arC_{1-10}alkyloxy wherein aryl rings in the substituents may themselves be substituted with halo, carboxy, trifluoromethyl, nitro or C_{1-4}alkyl;
optionally substituted C_{1-10}alkyl where optional substituents for C_{1-10}alkyl include amino, mono- or di-C_{1-4}alkylamino, hydroxy, C_{1-4}alkoxy, heterocyclyl selected from thiophene, tetrahydrothiophene-1,1-dioxide, pyrrolidino, morpholino, furyl and tetrahydrofuryl, C_{1-4}alkoxy, acetamido, aryloxy, alkylC_{1-4}thio, aroyl where the aryl ring may itself be substituted with halo, carboxy, trifluoromethyl, nitro, carboxy or trifluoromethyl, C_{3-10}cycloalkyl or C_{3-10}cycloalkenyl; or optionally substituted C_{2-10}alkenyl or C_{2-10}alkynyl where optional substituents for C_{2-10}alkenyl or C_{2-10}alkynyl include nitro, halo, carboxy, cyano, C_{1-4}alkyl, C_{1-4}alkoxy, C_{1-4}alkylthio, acetoxy, acetamido, hydroxy, aminosulphonyl, C_{1-4}alkylsulphonyl, trifluoromethyl, arC_{1-10}alkyl, or arC_{1-10}alkyloxy wherein aryl rings in the substituents may themselves be substituted with halo, carboxy, trifluoromethyl, nitro or C_{1-4}alkyl; or such groups are substituted by aryl, where the aryl ring may itself be substituted with halo, nitro, carboxy, trifluoromethyl;
R^7 and R^8 are independently selected from hydrogen, halo, C_{1-4}alkyl, C_{1-4}alkoxy, C_{1-4}alkoxymethyl, di(C_{1-4}alkoxy)methyl, C_{1-4}alkanoyl, trifluoromethyl, cyano, amino, C_{2-5}alkenyl, C_{2-5}alkynyl, a phenyl group, a benzyl group or a 5-6-membered heterocyclic group with 1-3 heteroatoms, selected independently from O, S and N, which heterocyclic group may be aromatic or non-aromatic and may be saturated, and [([])]linked via a ring carbon or nitrogen atom[([])], or unsaturated, and [([])]linked via a ring carbon atom[([])], and which phenyl, benzyl or heterocyclic group may bear on one or more ring carbon atoms up to 5 substituents selected from hydroxy, halogeno, C_{1-3}alkyl, C_{1-3}alkoxy, C_{1-3}alkanoyloxy, trifluoromethyl, cyano, amino, nitro, C_{2-4}alkanoyl, C_{1-4}alkanoylamino, C_{1-4}alkoxycarbonyl, C_{1-4}alkylsulphanyl, C_{1-4}alkylsulphinyl, C_{1-4}alkylsulphonyl, carbamoyl, N-C_{1-4}alkylcarbamoyl, N,N-di(C_{1-4}alkyl)carbamoyl, aminosulphonyl, N-C_{1-4}alkylaminosulphonyl, N,N-di(C_{1-4}alkyl)aminosulphonyl, C_{1-4}alkylsulphonylamino, and a saturated heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl and pyrazolidinyl, which saturated heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno,

C_{1-3}alkyl, C_{1-3}alkoxy, C_{1-3}alkanoyloxy, trifluoromethyl, cyano, amino, nitro and C_{1-4}alkoxycarbonyl. and

where R^1, R^2, R^3 and R^4 are independently selected from halo, cyano, nitro, trifluoromethyl, C_{1-3}alkyl, $-NR^{13}R^{14}$, [[(]]wherein R^{13} and R^{14}, which may be the same or different, each represents hydrogen or C_{1-3}alkyl[[)]], or $-X^1R^{15}$, [[(]]wherein X^1 represents a direct bond, -O-, $-CH_2-$, -OCO-, carbonyl, -S-, -SO-, $-SO_2-$, $-NR^{16}CO-$, $-CONR^{16}-$, $-SO_2NR^{16}-$, $-NR^{17}SO_2-$ or $-NR^{18}-$, [[(]]wherein R^{16}, R^{17} and R^{18} each independently represents hydrogen, C_{1-3}alkyl or C_{1-3}alkoxyC_{2-3}alkyl[[)]], and R^{15} is selected from one of the following groups:

1') hydrogen or C_{1-6}alkyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro or amino;

2') C_{1-3}alkylX^2COR^{19} [[(]]wherein X^2 represents -O- or $-NR^{20}-$, [[(]]in which R^{20} represents hydrogen, C_{1-3}alkyl or C_{1-3}alkoxyC_{2-3}alkyl[[)]], and R^{19} represents C_{1-3}alkyl, $-NR^{21}R^{22}$ or $-OR^{23}$, [[(]]wherein R^{21}, R^{22} and R^{23} which may be the same or different each represents hydrogen, C_{1-3}alkyl or C_{1-3}alkoxyC_{2-3}alkyl[[)]]);

3') C_{1-3}alkylX^3R^{24} [[(]]wherein X^3 represents -O-, -S-, -SO-, $-SO_2-$, -OCO-, $-NR^{25}CO-$, $-CONR^{25}-$, $-SO_2NR^{27}-$, $-NR^{26}SO_2-$ or $-NR^{29}-$, [[(]]wherein R^{25}, R^{26}, R^{27}, R^{28} and R^{29} each independently represents hydrogen, C_{1-3}alkyl or C_{1-3}alkoxyC_{2-3}alkyl[[)]], and R^{24} represents hydrogen, C_{1-3}alkyl, cyclopentyl, cyclohexyl or a 5-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which C_{1-3}alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C_{1-4}alkoxy and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C_{1-4}alkyl, C_{1-4}hydroxyalkyl and C_{1-4}alkoxy[[)]];

4') C_{1-5}alkylX^4C_{1-3}alkylX^5R^{30} [[(]]wherein X^4 and X^5 which may be the same or different are each -O-, -S-, -SO-, $-SO_2-$, $-NR^{31}CO-$, $-CONR^{32}-$, $-SO_2NR^{33}-$, $-NR^{34}SO_2-$ or $-NR^{35}-$, [[(]]wherein R^{31}, R^{32}, R^{33}, R^{34} and R^{35} each independently represents hydrogen, C_{1-3}alkyl or C_{1-3}alkoxyC_{2-3}alkyl[[)]], and R^{30} represents hydrogen or C_{1-3}alkyl[[)]];

5') R^{36} [[(]]wherein R^{36} is a 5-6-membered saturated heterocyclic group, [[(]]linked via carbon or nitrogen[[)]], with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C_{1-4}alkyl, C_{1-4}hydroxyalkyl, C_{1-4}alkoxy, C_{1-4}alkoxyC_{1-4}alkyl and C_{1-4}alkylsulphonylC_{1-4}alkyl[[)]];

6') C_{1-5}alkylR^{36} [[(]]wherein R^{36} is as defined in (5') above[[)]];

7') C_{2-5}alkenylR^{36} [[(]]wherein R^{36} is as defined in (5') above[[)]];

8') C_{2-5}alkynylR^{36} [[(]]wherein R^{36} is as defined in (5') above[[)]];

9') R^{37} [[(]]wherein R^{37} represents a pyridone group, a phenyl group or a 5-6-membered aromatic heterocyclic group, [[(]]linked via carbon or nitrogen[[)]], with 1-3 heteroatoms selected from O, N

and S, which pyridone, phenyl or aromatic heterocyclic group may carry up to 5 substituents on an available carbon atom selected from hydroxy, halogeno, amino, C_{1-4}alkyl, C_{1-4}alkoxy, C_{1-4}hydroxyalkyl, C_{1-4}aminoalkyl, C_{1-4}alkylamino, C_{1-4}hydroxyalkoxy, carboxy, trifluoromethyl, cyano, -$CONR^{38}R^{39}$ and -$NR^{40}C(O)R^{41}$, [[(I)]wherein R^{38}, R^{39}, R^{40} and R^{41}, which may be the same or different, each represents hydrogen, C_{1-4}alkyl or C_{1-3}alkoxyC_{2-3}alkyl[(I))]];

10') C_{1-5}alkylR^{37} [[(I)]wherein R^{37} is as defined in (9') above[(I)]];

11') C_{2-6}alkenylR^{37} [[(I)]wherein R^{37} is as defined in (9') above[(I)]];

12') C_{2-6}alkynylR^{37} [[(I)]wherein R^{37} is as defined in (9') above[(I)]];

13') C_{1-5}alkylX^6R^{37} [[(I)]wherein X^6 represents -O-, -S-, -SO-, -SO_2-, -$NR^{42}CO$-, -$CONR^{43}$-, -SO_2NR^{44}-, -$NR^{45}SO_2$- or -NR^{46}-, [[(I)]wherein R^{42}, R^{43}, R^{44}, R^{45} and R^{46} each independently represents hydrogen, C_{1-3}alkyl or C_{1-3}alkoxyC_{2-3}alkyl[(I)]], and R^{37} is as defined hereinbefore[(I)]];

14') C_{2-6}alkenylX^7R^{37} [[(I)]wherein X^7 represents -O-, -S-, -SO-, -SO_2-, -$NR^{47}CO$-, -$CONR^{48}$-, -SO_2NR^{49}-, -$NR^{50}SO_2$- or -NR^{51}-, [[(I)]wherein R^{47}, R^{48}, R^{49}, R^{50} and R^{51} each independently represents hydrogen, C_{1-3}alkyl or C_{1-3}alkoxyC_{2-3}alkyl[(I)]], and R^{37} is as defined in (9') above[(I)]];

15') C_{2-6}alkynylX^8R^{37} [[(I)]wherein X^8 represents -O-, -S-, -SO-, -SO_2-, -$NR^{52}CO$-, -$CONR^{53}$-, -SO_2NR^{54}-, -$NR^{55}SO_2$- or -NR^{56}-, [[(I)]wherein R^{52}, R^{53}, R^{54}, R^{55} and R^{56} each independently represents hydrogen, C_{1-3}alkyl or C_{1-3}alkoxyC_{2-3}alkyl[(I)]], and R^{37} is as defined hereinbefore[(I)]];

16') C_{1-3}alkylX^9C_{1-3}alkylR^{37} [[(I)]wherein X^9 represents -O-, -S-, -SO-, -SO_2-, -$NR^{57}CO$-, -$CONR^{58}$-, -SO_2NR^{59}-, -$NR^{60}SO_2$- or -NR^{61}-, [[(I)]wherein R^{57}, R^{58}, R^{59}, R^{60} and R^{61} each independently represents hydrogen, C_{1-3}alkyl or C_{1-3}alkoxyC_{2-3}alkyl[(I)]], and R^{37} is as defined hereinbefore[(I)]]; and

17') C_{1-3}alkylX^9C_{1-3}alkylR^{35} [[(I)]wherein X^9 and R^{35} are as defined in (5') above[(I)]];

provided that i) where R^1, R^4, R^7 and R^8 are all hydrogen and R^2 and R^3 are both hydrogen or both methoxy, R^{64} is other than phenyl; ~~and~~

(ii) where R^1, R^4, R^6, R^7 and R^8 are all hydrogen and R^2 and R^3 are methoxy, and Z is C(O), R^{64} is other than methyl; and

iii) wherein at least one of R^1-R^4 is -X^1R^{15}.

21-26. (Cancelled)

27. (Currently amended) A method for preparing a compound according to claim 20~~19~~, which method comprises reacting a compound of formula (VIII[[']])

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  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found**:*
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

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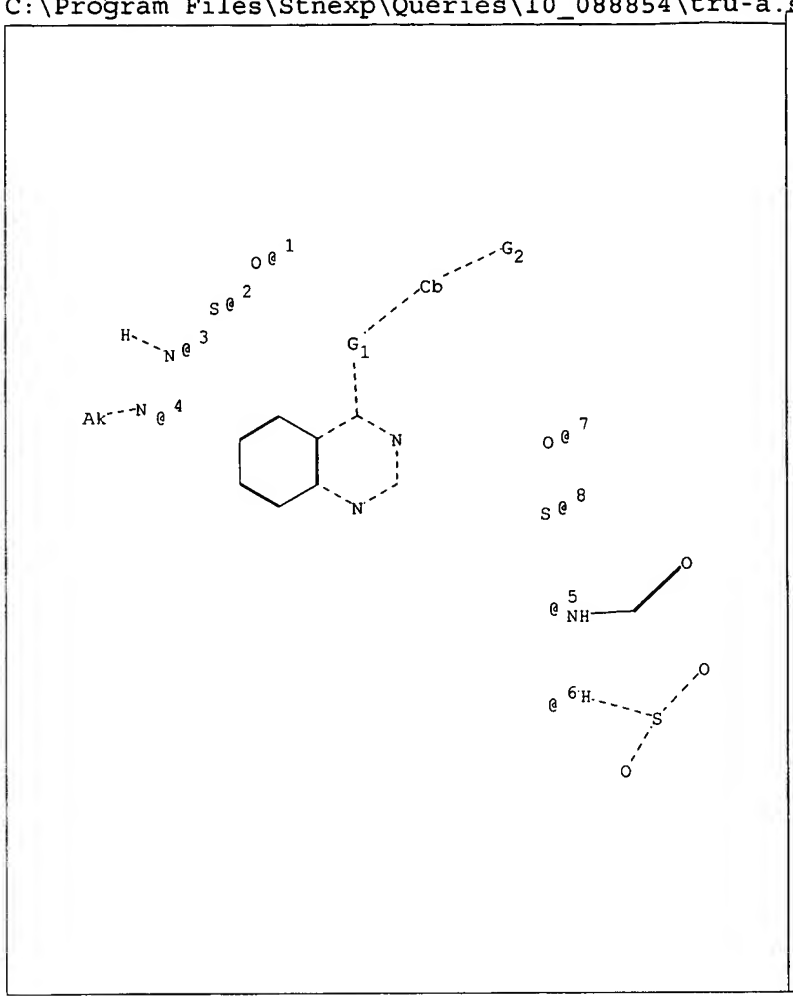
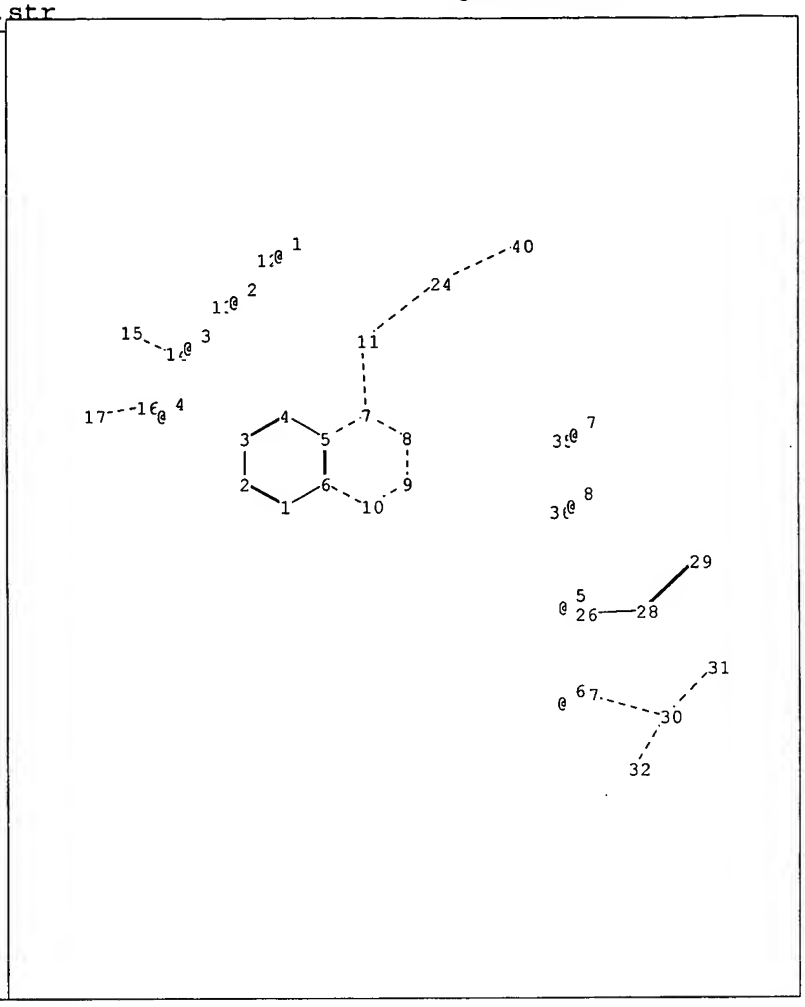
structure L1





chain nodes :
11 12 13 14 15 16 17 24 26 27 29 31 32 35 36 40
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
28 30
chain bonds :
7-11 11-24 14-15 16-17 24-40 26-28 27-30 28-29 30-31 30-32
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
5-7 6-10 7-8 7-11 8-9 9-10 11-24 14-15 16-17 24-40 26-28 27-30 28-29 30-31
30-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:[*1],[*2],[*3],[*4]

G2:[*5],[*6],[*7],[*8]

Connectivity :
8:2 E exact RC ring/chain 10:2 E exact RC ring/chain 29:1 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 24:Atom 26:CLASS 27:CLASS
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 35:CLASS 36:CLASS 40:CLASS
Generic attributes :

24:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

Element Count :
Node 24: Limited
C,C6

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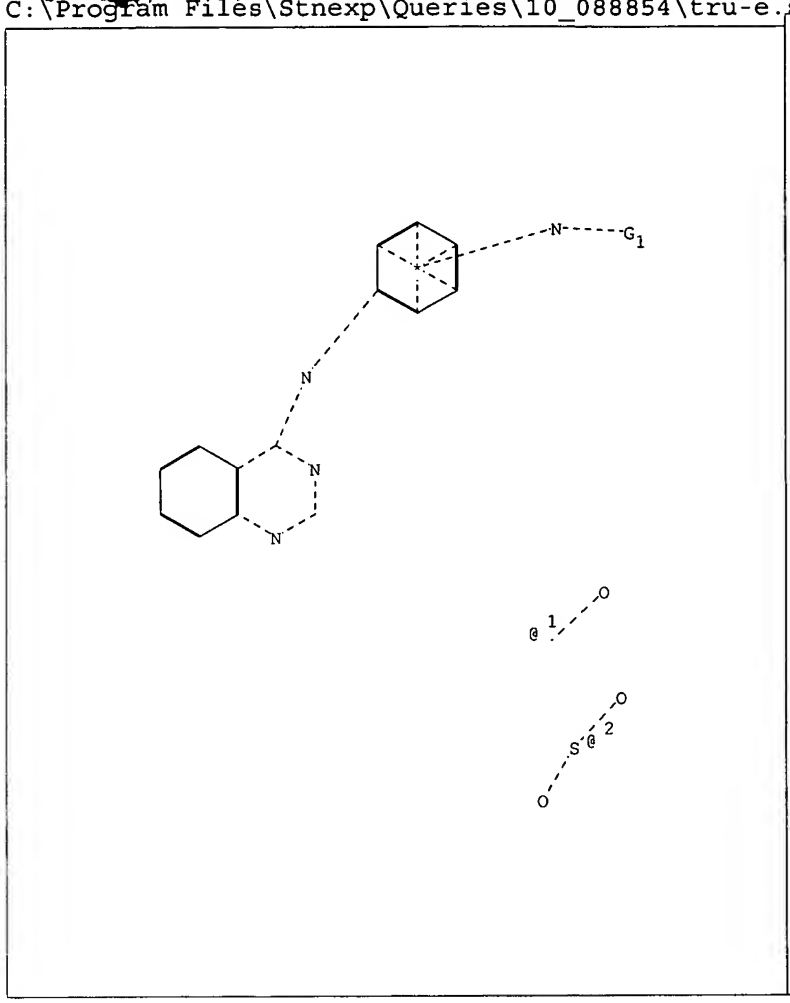
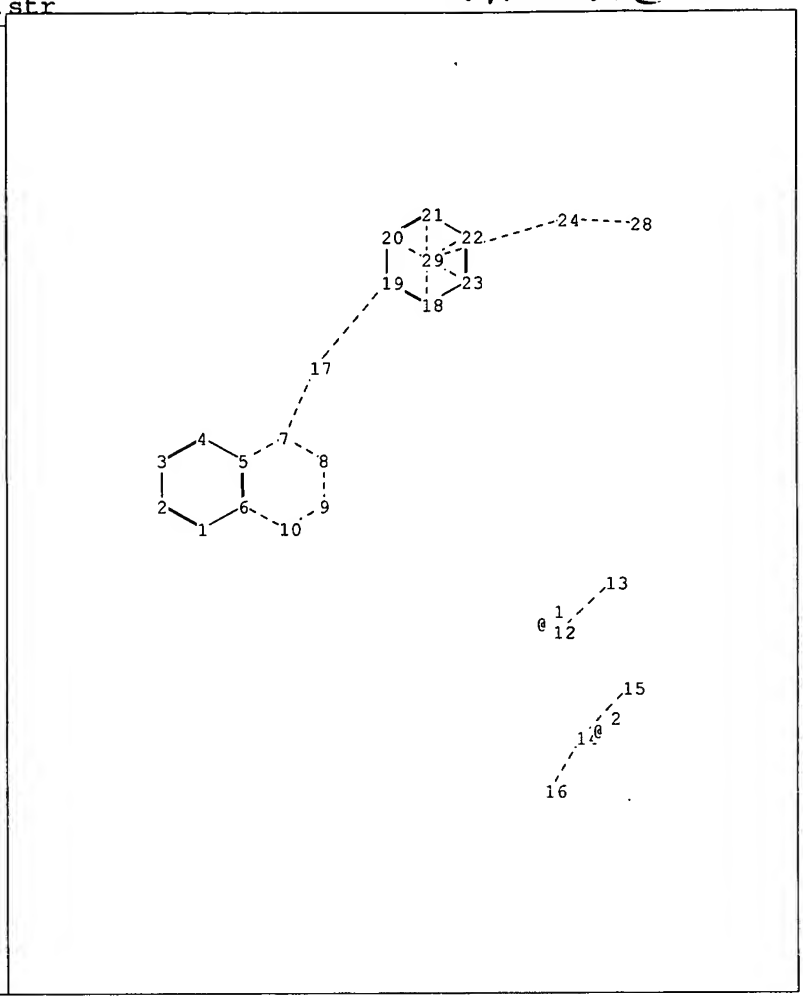
structure 14





chain nodes :
13
ring nodes :
1 2 3 4 5 6 7 8 9 10 18 19 20 21 22 23
ring/chain nodes :
12 14 15 16 17 24 28
chain bonds :
12-13
ring/chain bonds :
7-17 14-16 14-15 17-19 24-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 18-19 18-23 19-20 20-21
21-22 22-23
exact/norm bonds :
5-7 6-10 7-8 7-17 8-9 9-10 12-13 14-16 14-15 17-19 24-28
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 18-19 18-23 19-20 20-21 21-22 22-23

G1:[*1],[*2]

Connectivity :
8:2 E exact RC ring/chain 10:2 E exact RC ring/chain 13:1 E exact RC ring/chain
17:2 E exact RC ring/chain 24:2 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 12:CLASS
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom

23:Atom 24:CLASS 28:CLASS 29:CLASS